

10/566,585

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PASSWORD:

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FILE 'REGISTRY' ENTERED AT 17:06:48 ON 21 JUN 2008  
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.38	224.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.40

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.38	224.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.40

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STRUCTURE FILE UPDATES: 20 JUN 2008 HIGHEST RN 1029712-63-7  
DICTIONARY FILE UPDATES: 20 JUN 2008 HIGHEST RN 1029712-63-7

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=>

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L5 STRUCTURE UPLOADED

=> s l5

SAMPLE SEARCH INITIATED 17:07:21 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 1130 TO ITERATE

100.0% PROCESSED 1130 ITERATIONS 1 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 20584 TO 24616

McIntosh

10/566,585

PROJECTED ANSWERS: 1 TO 80

L6 1 SEA SSS SAM L5

=> s l5 full

FULL SEARCH INITIATED 17:07:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 22707 TO ITERATE

100.0% PROCESSED 22707 ITERATIONS

22 ANSWERS

SEARCH TIME: 00.00.01

L7 22 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

402.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-6.40

FILE 'CAPLUS' ENTERED AT 17:07:33 ON 21 JUN 2008

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FILE COVERS 1907 - 21 Jun 2008 VOL 148 ISS 26

FILE LAST UPDATED: 20 Jun 2008 (20080620/ED)

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<http://www.cas.org/legal/infopolicy.html>

=> s l7

L8 14 L7

=> d bib abs hitstr 1-14 l8

L8 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:912445 CAPLUS

DN 145:285165

TI Pharmaceutical compositions containing N-glucoside compounds

IN Nomura, Sumihiro; Sakamoto, Toshiaki; Ueda, Kiichiro

PA Tanabe Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 30pp.

CODEN: JKXXAF

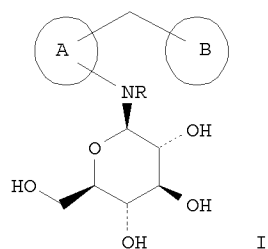
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 2006232825	A	20060907	JP 2006-19935	20060130
PRAI	JP 2005-23727	A	20050131		
OS	MARPAT 145:285165				
GI					

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AB The invention relates to a pharmaceutical composition characterized by containing a compound I (ring A and B are (un)substituted monocycle unsatd. hetero rings, etc.; R = H, lower alkyl, lower alkonoyl, lower alkoxy carbonyl) or its salt or prodrug as an active component, suitable for use for treatment and/or prevention of diabetes or obesity. For example, 2-(4-ethylbenzyl)-N-( $\beta$ -D-glucopyranosyl)aniline was prepared, and examined for its inhibitory effect on SGLT 2 (sodium-dependent glucose transporter 2) in vitro.

IT 841236-78-0P 841236-79-1P 841236-80-4P  
841236-81-5P 841236-82-6P

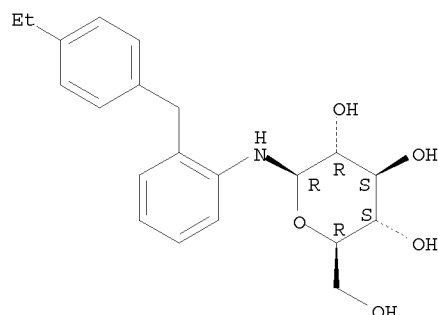
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. containing N-glucoside compds. for treatment of diabetes, obesity, and related diseases)

RN 841236-78-0 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]phenyl]- (CA INDEX NAME)

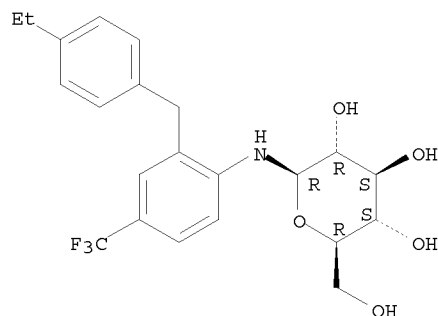
Absolute stereochemistry.



RN 841236-79-1 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

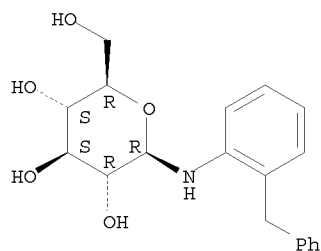


10/566,585

RN 841236-80-4 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-(phenylmethyl)phenyl]- (CA INDEX NAME)

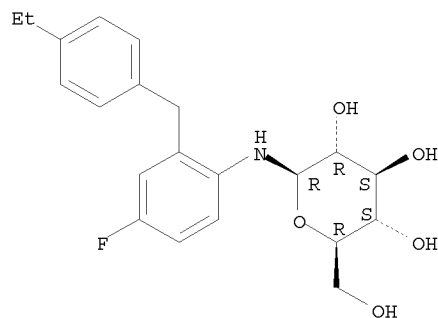
Absolute stereochemistry.



RN 841236-81-5 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-fluorophenyl]- (CA INDEX NAME)

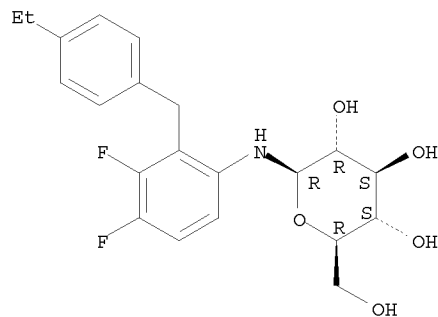
Absolute stereochemistry.



RN 841236-82-6 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-3,4-difluorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:620496 CAPLUS

DN 146:402193

TI Synthesis and hydrolysis of N,N'-di-D-glucopyranosyldiaminodiphenylmethane

AU Yang, Deming; Fang, Zhijie

CS School of Chemical Engineering, Nanjing University of Science + Technology, Nanjing, 210094, Peop. Rep. China

SO Huaxue Yanjiu Yu Yingyong (2005), 17(3), 414-416

CODEN: HYYIFM; ISSN: 1004-1656

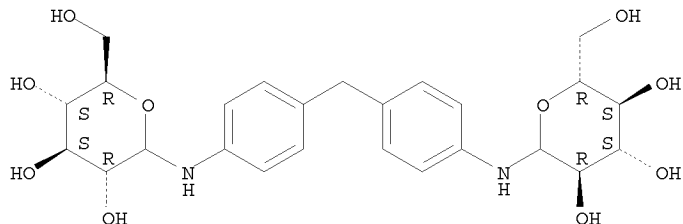
PB Huaxue Yanjiu Yu Yingyong Bianjibu

McIntosh

10/566,585

DT Journal  
LA Chinese  
OS CASREACT 146:402193  
AB N,N'-Di-D-glucopyranosyldiaminodiphenylmethane [i.e., N,N'-[(methylene)di-4,1-phenylene]-D-glucopyranosylamine] was prepared by the condensation reaction of D-glucose with 4,4'-diaminodiphenylmethane (at a molar ratio of 1:1) in anhydrous methanol under reflux for 25 h in a yield of 53.3% and purity of 99.4%. Its structure was characterized by elemental anal., IR, and NMR spectroscopy. The research of the hydrolysis of the product showed the condensation reaction was at equilibrium. The influence of time and the 4,4'-diaminodiphenylmethane concentration in water on the hydrolysis was also researched.  
IT 30796-64-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of N,N'-[(methylene)phenylene]-D-glucopyranosylamine and study of its hydrolysis reaction)  
RN 30796-64-6 CAPLUS  
CN D-Glucopyranosylamine, N,N'-(methylenedi-4,1-phenylene)bis- (CA INDEX NAME)

Absolute stereochemistry.

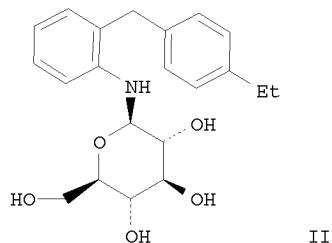
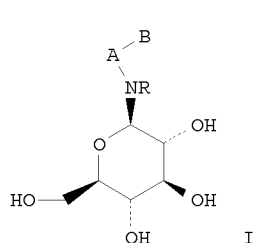


L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:120945 CAPLUS  
DN 142:219494  
TI Preparation of aryl-aminodeoxy monosaccharides as antidiabetic agents  
IN Nomura, Sumihiro; Sakamoto, Toshiaki; Ueta, Kiichiro  
PA Tanabe Seiyaku Co., Ltd., Japan  
SO PCT Int. Appl., 62 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005012321	A1	20050210	WO 2004-JP11311	20040730
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004260760	A1	20050210	AU 2004-260760	20040730
	CA 2534022	A1	20050210	CA 2004-2534022	20040730
	EP 1654269	A1	20060510	EP 2004-771313	20040730
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1829728	A	20060906	CN 2004-80022006	20040730
	BR 2004013233	A	20061003	BR 2004-13233	20040730
	JP 2007518682	T	20070712	JP 2006-519250	20040730
	NO 2006000219	A	20060428	NO 2006-219	20060116
	MX 2006PA01273	A	20060411	MX 2006-PA1273	20060131
	IN 2006CN00725	A	20070629	IN 2006-CN725	20060228
	US 20060217323	A1	20060928	US 2006-446014	20060602

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	US 20060229260	A1	20061012	US 2006-453728	20060615
	US 20060234954	A1	20061019	US 2006-453727	20060615
	US 20060293251	A1	20061228	US 2006-453726	20060615
	US 20070060545	A1	20070315	US 2006-566585	20060728
	AU 2008200240	A1	20080207	AU 2008-200240	20080117
PRAI	US 2003-491523P	P	20030801		
	US 2003-491534P	P	20030801		
	US 2003-519155P	P	20031112		
	US 2003-519209P	P	20031112		
	US 2003-519210P	P	20031112		
	US 2003-519381P	P	20031112		
	US 2004-579722P	P	20040615		
	US 2004-579730P	P	20040615		
	US 2004-579758P	P	20040615		
	US 2004-579792P	P	20040615		
	AU 2004-260761	A3	20040730		
	US 2004-903034	A3	20040730		
	US 2004-903136	A3	20040730		
	US 2004-903233	A3	20040730		
	US 2004-903234	A3	20040730		
	WO 2004-JP11311	W	20040730		
OS	CASREACT 142:219494; MARPAT 142:219494				
GI					



AB Aryl-aminodeoxy monosaccharides I, wherein A and B are (1) A is an optionally substituted unsatd. monocyclic heterocyclic, and B is an optionally substituted unsatd. monocyclic heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene, (2) A is an optionally substituted benzene, and B is an optionally substituted unsatd. monocyclic heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene, or (3) A is an optionally substituted unsatd. fused hetero-bicyclic, wherein -NR- group and -CH<sub>2</sub>- group are both on the same of the unsatd. fused hetero-bicyclic, and B is an optionally substituted monocyclic unsatd. heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene; and R is a hydrogen atom, a lower alkyl group, a lower alkanoyl group or a lower alkoxycarbonyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof. A method is claimed for treatment of type 1 and 2 diabetes mellitus, which comprises administering to a mammalian species in need of treatment a therapeutically effective amount of the compound, or in combination with another antidiabetic agent, an agent for treating diabetic complications, an anti-obesity agent, an antihypertensive agent, an antiplatelet agent, an anti-atherosclerotic agent and/or a hypolipidemic agent. Thus, title II was prepared and tested as an antidiabetic agent. The dosage of the present compd.s or a pharmaceutically acceptable salt thereof may vary according to the administration routes, ages, body weight, conditions of a patient, or kinds and severity of a disease to be treated, and it is usually in the range of about 0.1 to 50 mg/kg/day, preferably in the range of about 0.1 to 30 mg/kg/day.

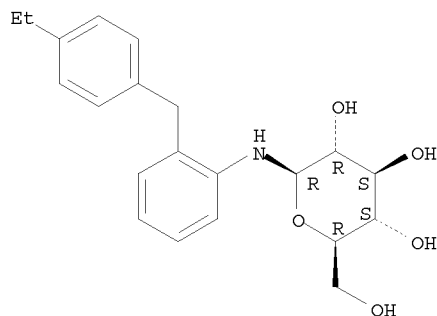
IT 841236-78-0P 841236-79-1P 841236-80-4P  
841236-81-5P 841236-82-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aryl-aminodeoxy monosaccharides as antidiabetic agents)

RN 841236-78-0 CAPLUS

10/566,585

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]phenyl]- (CA INDEX NAME)

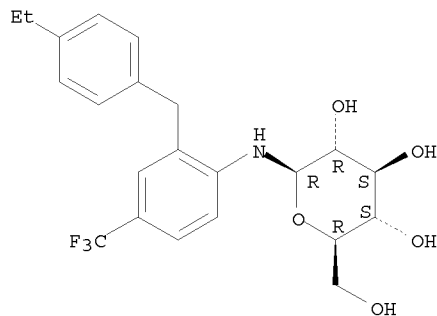
Absolute stereochemistry.



RN 841236-79-1 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

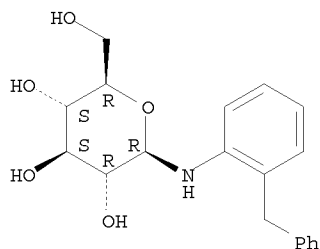
Absolute stereochemistry.



RN 841236-80-4 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-(phenylmethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



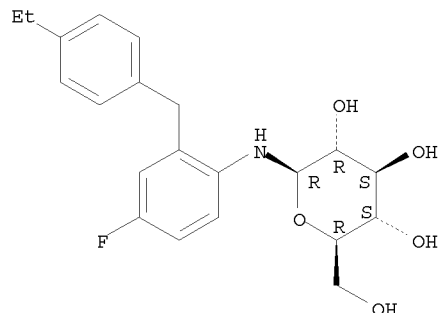
RN 841236-81-5 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-fluorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.

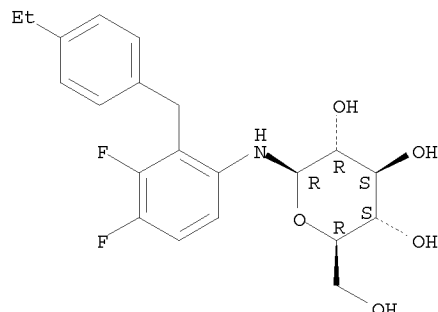
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10/566,585



RN 841236-82-6 CAPLUS  
CN  $\beta$ -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-3,4-difluorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:521351 CAPLUS  
DN 139:239669  
TI Synthesis and activity of novel benzoxazole derivatives of mannopeptimycin glycopeptide antibiotics  
AU Sum, Phaik-Eng; How, David; Torres, Nancy; Newman, Howard; Petersen, Peter J.; Mansour, Tarek S.  
CS Chemical Sciences, Wyeth Research, Pearl River, NY, 10965, USA  
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(15), 2607-2610  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier Science B.V.  
DT Journal  
LA English  
OS CASREACT 139:239669  
AB A series of benzoxazole derivs. of the mannopeptimycin glycopeptide antibiotics was synthesized via a novel benzoxazole formation reaction by treating aminophenol of mannopeptimycin- $\beta$  with an aldehyde and DDQ in DMF. Some of these derivs. showed good activity against Gram-(+) bacteria when compared to the parent compound mannopeptimycin- $\beta$ .  
IT 596818-67-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and activity of novel benzoxazole derivs. of mannopeptimycin glycopeptide antibiotics)  
RN 596818-67-6 CAPLUS  
CN Cyclo[3-[2-[(2,3,4,6-tetra-O-benzoyl- $\beta$ -D-glucopyranosyl)amino]-5-benzoxazolyl]-D-alanyl-(3S)-3-[(4S)-2-amino-4,5-dihydro-1H-imidazol-4-yl]-L-seryl-(3R)-3-[(5S)-2-amino-4,5-dihydro-1- $\alpha$ -D-mannopyranosyl-1H-imidazol-5-yl]-D-seryl-L-serylglycyl-( $\beta$ S)- $\beta$ -methyl-L-phenylalanyl] (9CI) (CA INDEX NAME)

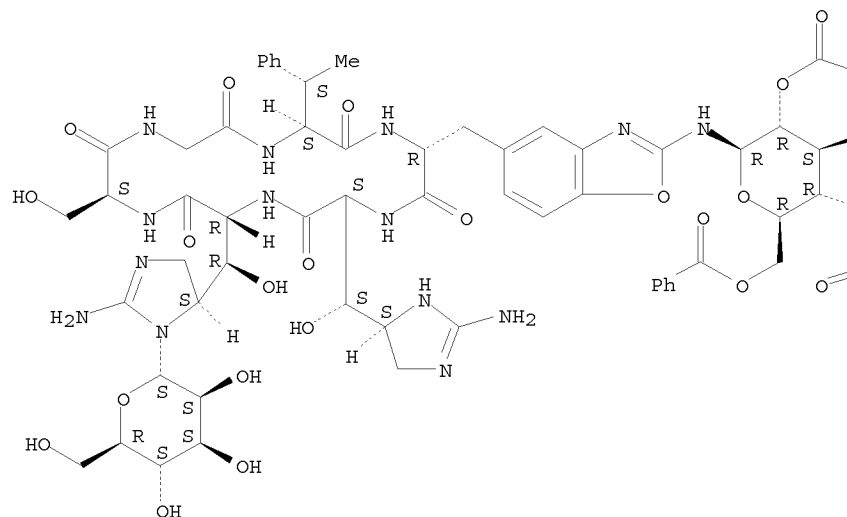
McIntosh



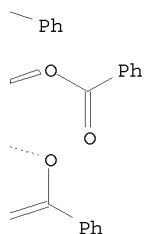
10/566,585

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:137713 CAPLUS  
DN 139:7095  
TI Syntheses of guanidinoglycosides with the inventive use of Mitsunobu conditions and 1,8-diazabicyclo[5.4.0]undec-7-ene  
AU Lin, Peishan; Heng, Sabrina Cher Hui; Sim, Mui Mui  
CS Institute of Molecular and Cell Biology, Singapore, 117609, Singapore  
SO Synthesis (2003), (2), 255-261  
CODEN: SYNTBF; ISSN: 0039-7881  
PB Georg Thieme Verlag  
DT Journal  
LA English  
OS CASREACT 139:7095  
AB A series of novel guanidinoglycosides was successfully synthesized. This was accomplished with the use of Mitsunobu conditions as a strategy to convert the glycopyranose anomeric hydroxy group to give the corresponding substituted masked guanidines in high yields. Subsequent deprotection and coupling with Fmoc protected  $\beta$ -amino acid, afforded a series of N,N'-substituted-methylisothioureas. Cleavage of Fmoc followed by concomitant cyclization was achieved with a catalytic amount of DBU to give the guanidinoglycosides.  
IT 535952-67-1P 535952-69-3P 535952-71-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)

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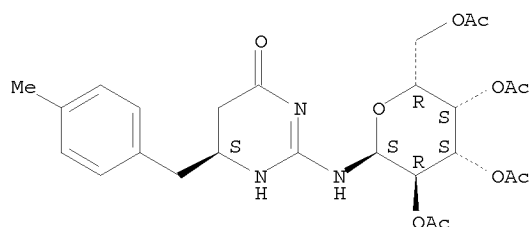
10/566,585

(syntheses of guanidinoglycosides with inventive use of Mitsunobu conditions and diazabicycloundecene)

RN 535952-67-1 CAPLUS

CN 4(1H)-Pyrimidinone, 5,6-dihydro-6-[(4-methylphenyl)methyl]-2-[(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-galactopyranosyl)amino]-, (6S)- (9CI) (CA INDEX NAME)

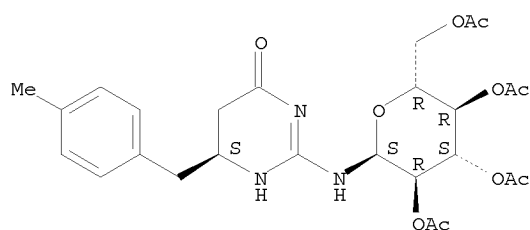
Absolute stereochemistry.



RN 535952-69-3 CAPLUS

CN 4(1H)-Pyrimidinone, 5,6-dihydro-6-[(4-methylphenyl)methyl]-2-[(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)amino]-, (6S)- (9CI) (CA INDEX NAME)

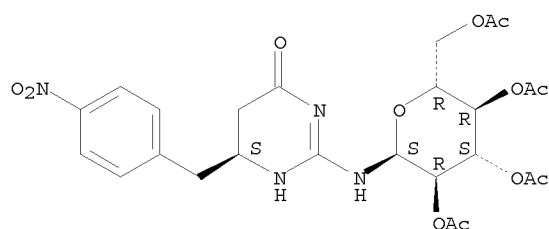
Absolute stereochemistry.



RN 535952-71-7 CAPLUS

CN 4(1H)-Pyrimidinone, 5,6-dihydro-6-[(4-nitrophenyl)methyl]-2-[(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)amino]-, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:832983 CAPLUS

DN 137:336791

TI Preparation of glycopeptide antibiotics

IN Abbanat, Darren Robert; Bailey, Arthur Emery; Bernan, Valerie Sue;  
Greenstein, Michael; Lotvin, Jason Arnold; Ruppen, Mark Edward;  
Sutherland, Alan Gordon; He, Haiyin

PA American Cyanamid Company, USA

SO PCT Int. Appl., 515 pp.

CODEN: PIXXD2

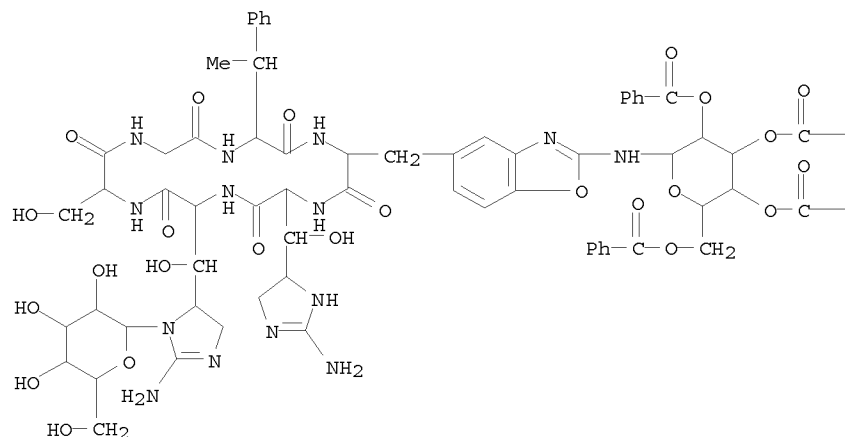
DT Patent

McIntosh

LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002086141	A1	20021031	WO 2002-US13108	20020425
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2445216	A1	20021031	CA 2002-2445216	20020425
	AU 2002307567	A1	20021105	AU 2002-307567	20020425
	US 20030054508	A1	20030320	US 2002-132012	20020425
	US 6713448	B2	20040330		
	US 20030087812	A1	20030508	US 2002-131890	20020425
	US 6914045	B2	20050705		
	US 20030092610	A1	20030515	US 2002-131847	20020425
	US 6964860	B2	20051115		
	EP 1390521	A1	20040225	EP 2002-764346	20020425
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	MX 2003PA09803	A	20040129	MX 2003-PA9803	20031024
	US 20040158035	A1	20040812	US 2004-771652	20040204
	US 7183253	B2	20070227		
	US 20050288221	A1	20051229	US 2005-116149	20050427
PRAI	US 2001-286396P	P	20010425		
	US 2001-286244P	P	20010425		
	US 2001-286249P	P	20010425		
	US 2002-131847	A3	20020425		
	US 2002-132012	A3	20020425		
	WO 2002-US13108	W	20020425		
OS	MARPAT 137:336791				
AB	The invention provides glycopeptide antibiotics and their derivs. prepared by fermentation of Streptomyces hygroscopicus strains and modified by organic transformation, biochem. transformation and biotransformation. These compds. are useful as antibiotic agents against gram pos. and neg. bacteria.				
IT	474326-34-6P				
	RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of glycopeptide antibiotics)				
RN	474326-34-6 CAPLUS				
CN	Cyclo[3-[2-[(2,3,4,6-tetra-O-benzoylhexopyranosyl)amino]-5-benzoxazolyl]alanyl-3-(2-amino-4,5-dihydro-1H-imidazol-4-yl)seryl-3-(2-amino-1-hexopyranosyl-4,5-dihydro-1H-imidazol-5-yl)serylserylglycyl-β-methylphenylalanyl] (9CI) (CA INDEX NAME)				

PAGE 1-A



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— Ph

RE.CNT 1        THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8    ANSWER 7 OF 14    CAPLUS    COPYRIGHT 2008 ACS on STN

AN    2002:832574    CAPLUS

DN    137:338136

TI    Preparation of glycopeptide antibiotics

IN    Abbanat, Darren Robert; Bernan, Valerie Sue; Dushin, Russell George;  
 Greenstein, Michael; He, Haiyin; Lang, Stanley Albert; Newman, Howard;  
 Sakya, Subas; Sum, Phaik-Eng; Sutherland, Alan Gordon; Wang, Ting-Zhong;  
 Ruppen, Mark Edward; Bailey, Arthur Emery; Chi, Ping; Shen, Bo; Kong,  
 Fangming; Lotvin, Jason Arnold

PA    American Cyanamid Company, USA

SO    PCT Int. Appl., 548 pp.

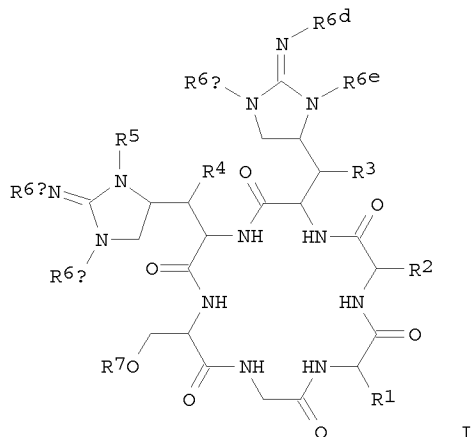
CODEN: PIXXD2

DT    Patent

LA    English

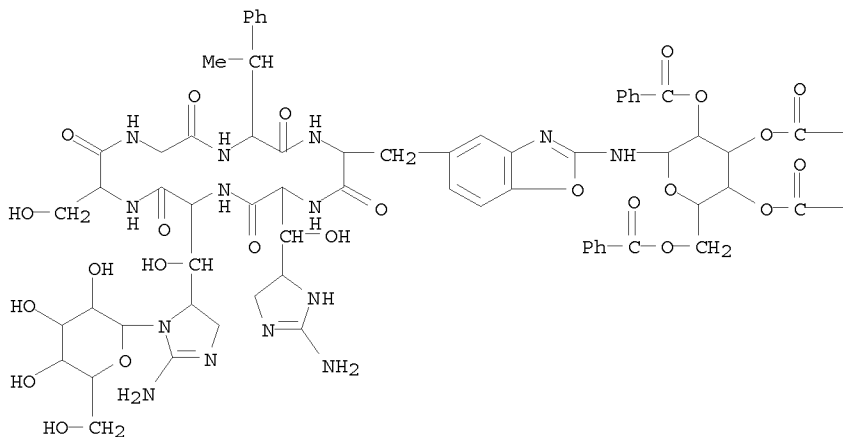
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085307	A2	20021031	WO 2002-US13120	20020425
	WO 2002085307	A3	20030925		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2444673	A1	20021031	CA 2002-2444673	20020425
	AU 2002303480	A1	20021105	AU 2002-303480	20020425
	US 20030054508	A1	20030320	US 2002-132012	20020425
	US 6713448	B2	20040330		
	US 20030087812	A1	20030508	US 2002-131890	20020425
	US 6914045	B2	20050705		
	US 20030092610	A1	20030515	US 2002-131847	20020425
	US 6964860	B2	20051115		
	EP 1390056	A2	20040225	EP 2002-731505	20020425
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	MX 2003PA09802	A	20050307	MX 2003-PA9802	20031024
	US 20040158035	A1	20040812	US 2004-771652	20040204
	US 7183253	B2	20070227		
	US 20050288221	A1	20051229	US 2005-116149	20050427
PRAI	US 2001-286244P	P	20010425		
	US 2001-286249P	P	20010425		
	US 2001-286396P	P	20010425		
	US 2002-131847	A3	20020425		
	US 2002-132012	A3	20020425		
	WO 2002-US13120	W	20020425		
OS	MARPAT 137:338136				
GI					



- AB Glycopeptide antibiotics I [R1 = 1-phenylethyl, 1-(halophenyl)ethyl, benzyl, 1-(2-thienyl)ethyl, 1-cyclohexylethyl, cyclohexylmethyl, phenyl; R2 = CH<sub>2</sub>C<sub>6</sub>H<sub>2</sub>R<sub>2b</sub>(OR<sub>2a</sub>)R<sub>2c</sub>-3,4,5 (R<sub>2a</sub>, R<sub>2b</sub>, R<sub>2c</sub> = H, (cyclo)alkyl, etc.), 4-R<sub>2a</sub>O-substituted cyclohexylmethyl, cyclohexylmethyl, 2-substituted 5-benzoxazolyl or 5-benzofuranyl; R3, R4 = H, OH, a silyl or acyl group; R5, R6a-R6e = H, (cyclo)alkyl, alkenyl, alkynyl, acyl, 2- or 4-nitrophenyl, certain heterocyclic groups; R7 = H, (cyclo)alkyl, alkenyl, alkynyl, a silyl or acyl group (with provisos)] or their pharmaceutically-acceptable salts were prepared and assayed for biol. activity. Thus, cyclo[3-cyclohexyl-2-aminobutanoyl-O-(4-O-hexopyranosylhexopyranosyl)tyrosyl-3-(2-iminoimidazolidin-4-yl)seryl-3-(3-hexopyranosyl-2-iminoimidazolidin-4-yl)serylserglycyl] (claimed compound) was prepared and showed MIC = 32 and 4 µg/mL for inhibition of *Staphylococcus aureus* (GC 1131) and Coagulase Neg. *Staphylococcus* (GC 4549), resp.
- IT 474326-34-6P  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of glycopeptide antibiotics)
- RN 474326-34-6 CAPLUS
- CN Cyclo[3-[2-[(2,3,4,6-tetra-O-benzoylhexopyranosyl)amino]-5-benzoxazolyl]alanyl-3-(2-amino-4,5-dihydro-1H-imidazol-4-yl)seryl-3-(2-amino-1-hexopyranosyl-4,5-dihydro-1H-imidazol-5-yl)serylserglycyl-β-methylphenylalanyl] (9CI) (CA INDEX NAME)

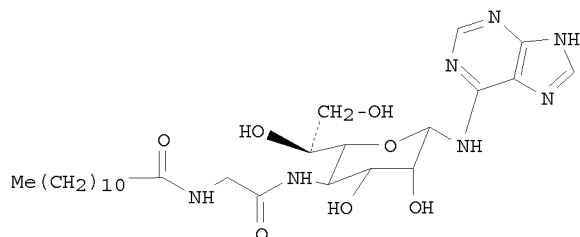
PAGE 1-A



— Ph

— Ph

L8 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:259510 CAPLUS  
 DN 137:20536  
 TI Total Synthesis of Spicamycin  
 AU Suzuki, Tamotsu; Suzuki, Sayaka T.; Yamada, Iwao; Koashi, Yoshiaki;  
 Yamada, Kazue; Chida, Noritaka  
 CS Department of Applied Chemistry Faculty of Science and Technology, Keio  
 University, Hiyoshi, Kohoku-ku, Yokohama, 223-8522, Japan  
 SO Journal of Organic Chemistry (2002), 67(9), 2874-2880  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 137:20536  
 GI



AB The first total synthesis of one of the spicamycin congeners, SPM VIII I, is described. A preliminary model study for construction of the characteristic N-glycoside linkage in spicamycin using tetra-O-benzyl- $\beta$ -D-mannopyranosylamine and halopurines revealed that Pd-catalyzed conditions. It was also shown that thermal anomerization of the N-glycosides easily occurred, which resulted in the predominant formation of the  $\beta$ -anomer as the thermodynamically favored compound, and the activation energy of anomerization of 15 was estimated to be ca. 30 kcal/mol. The novel aminoheptose unit of spicamycin was prepared stereoselectively by carbon elongation of an acyclic aldehyde, prepared by ring cleavage reaction of a highly functionalized cyclohexane derived from naturally abundant myo-inositol. The Pd-catalyzed coupling reaction of the  $\beta$ -heptopyranosylamine with protected 6-chloropurine, followed by deprotection, provided spicamycin amino nucleoside, whose condensation with dodecanoylglycine completed the total synthesis of I. This study confirmed the proposed unique structure of a novel nucleoside antibiotic.

IT 222296-26-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (total synthesis of spicamycin via Pd-catalyzed coupling, condensation,  
 and thermal anomerization reactions)

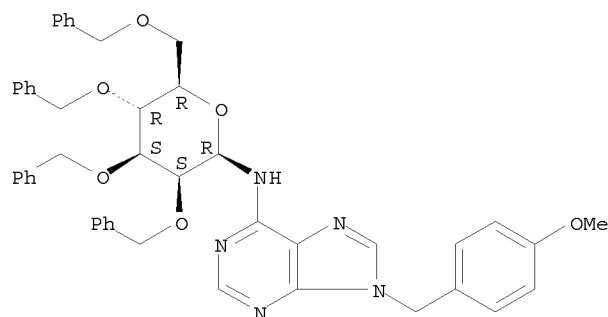
RN 222296-26-6 CAPLUS

CN  $\beta$ -D-Mannopyranosylamine, N-[9-[(4-methoxyphenyl)methyl]-9H-purin-6-yl]-2,3,4,6-tetrakis-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

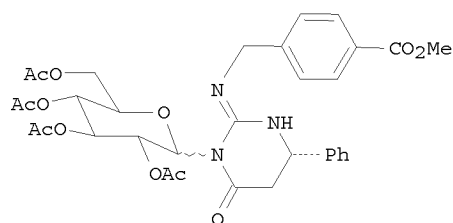
McIntosh

10/566,585



RE.CNT 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:809683 CAPLUS  
DN 136:70032  
TI Synthesis of Novel Guanidinoglycoside: 2-Glycosylamino-4,5-dihydro-6-pyrimidinone  
AU Lin, Peishan; Lee, Cheng Leng; Sim, Mui Mui  
CS Institute of Molecular and Cell Biology, Singapore, 117609, Singapore  
SO Journal of Organic Chemistry (2001), 66(24), 8243-8247  
CODEN: JOCEAH; ISSN: 0022-3263  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 136:70032  
GI



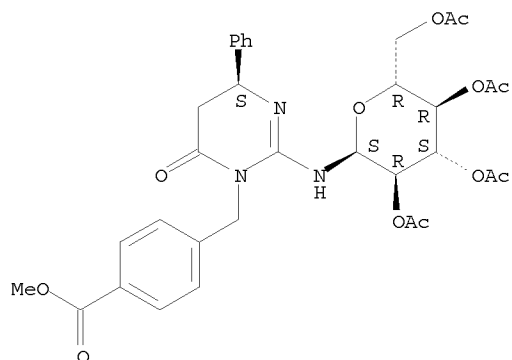
I

AB 2-Glycosylamino-4,5-dihydro-6-pyrimidinones, e.g. I, were prepared from  $\beta$ -glycosyl isothiocyanate via condensation with azides followed by cyclocondensation with amino acid Me esters.  
IT 385433-15-8P 385433-17-0P 385433-31-8P  
385433-32-9P 385433-33-0P 385433-34-1P  
385433-35-2P 385433-36-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis guanidino glycoside glycosylaminodihydropyrimidinone from  $\beta$ -glycosyl isothiocyanate via condensation with azides followed by cyclocondensation with amino acid Me esters)  
RN 385433-15-8 CAPLUS  
CN Benzoic acid, 4-[[[(4S)-tetrahydro-6-oxo-4-phenyl-2-[(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)imino]-1(2H)-pyrimidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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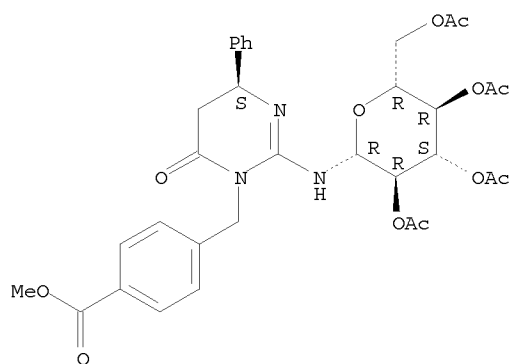
10/566,585



RN 385433-17-0 CAPLUS

CN Benzoic acid, 4-[(4S)-tetrahydro-6-oxo-4-phenyl-2-[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)imino]-1(2H)-pyrimidinyl]methyl-, methyl ester (9CI) (CA INDEX NAME)

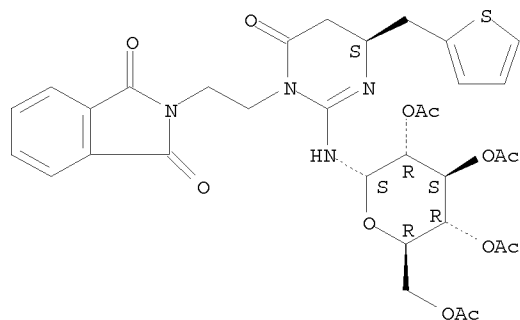
Absolute stereochemistry.



RN 385433-31-8 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[(4S)-5,6-dihydro-6-oxo-2-[(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)amino]-4-(2-thienylmethyl)-1(4H)-pyrimidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 385433-32-9 CAPLUS

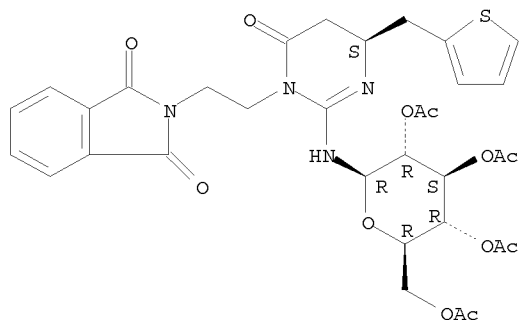
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[(4S)-5,6-dihydro-6-oxo-2-[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)amino]-4-(2-thienylmethyl)-1(4H)-pyrimidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

McIntosh



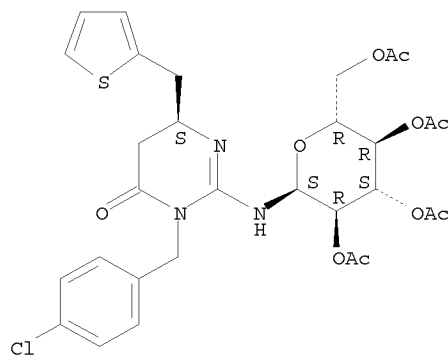
10/566,585



RN 385433-33-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[(4-chlorophenyl)methyl]-5,6-dihydro-2-[(2,3,4,6-tetra-O-acetyl-α-D-glucopyranosyl)amino]-6-(2-thienylmethyl)-, (6S)-  
(CA INDEX NAME)

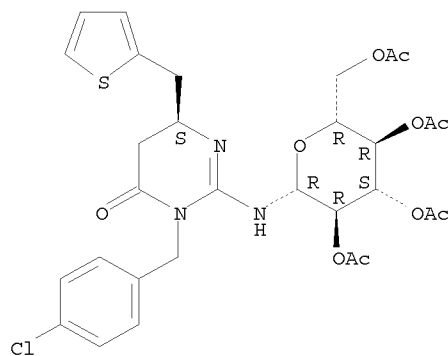
Absolute stereochemistry.



RN 385433-34-1 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[(4-chlorophenyl)methyl]-5,6-dihydro-2-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]-6-(2-thienylmethyl)-, (6S)-  
(CA INDEX NAME)

Absolute stereochemistry.



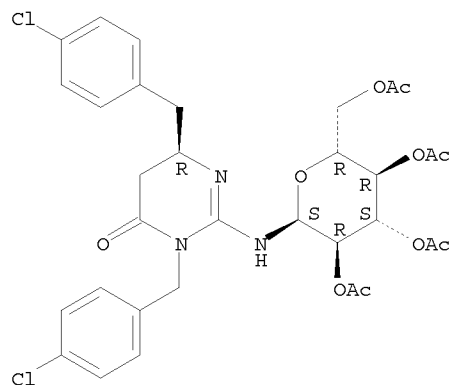
RN 385433-35-2 CAPLUS

CN 4(3H)-Pyrimidinone, 3,6-bis[(4-chlorophenyl)methyl]-5,6-dihydro-2-[(2,3,4,6-tetra-O-acetyl-α-D-glucopyranosyl)amino]-, (6R)-  
(CA INDEX NAME)

Absolute stereochemistry.

McIntosh

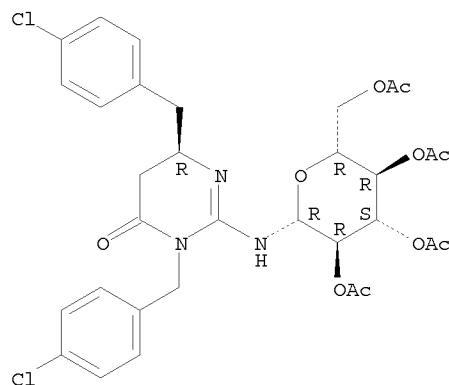
10/566,585



RN 385433-36-3 CAPLUS

CN 4(3H)-Pyrimidinone, 3,6-bis[(4-chlorophenyl)methyl]-5,6-dihydro-2-  
[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)amino]-, (6R)- (CA INDEX  
NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1999:199467 CAPLUS

DN 130:267672

TI Pd-catalyzed coupling reaction of glycosylamines with 6-chloropurines:  
synthesis of 6-( $\beta$ -D-mannopyranosylamino)-9H-purine and its  
 $\beta$ -D-glucoside isomer, N-glycoside models for spicamycin and septacidin

AU Chida, Noritaka; Suzuki, Tamotsu; Tanaka, Sayaka; Yamada, Iwao

CS Department of Applied Chemistry, Faculty of Science and Technology, Keio  
University, Yokohama, 223-8522, Japan

SO Tetrahedron Letters (1999), 40(13), 2573-2576

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB The first example of preparation of 6-( $\beta$ -D-mannopyranosylamino)-9H-purine,  
whose N-glycosidic linkage corresponds to a natural antibiotic,  
spicamycin, by Pd-catalyzed coupling reaction of a mannopyranosylamine  
with 9-protected-6-chloropurine, followed by deprotection, is described.  
Its  $\beta$ -D-glucoside isomer was also synthesized. This work established the  
procedure to construct the novel N-glycoside, in which the pyranose unit  
is connected to the amino group at C(6) of adenine moiety.

IT 222296-26-6P

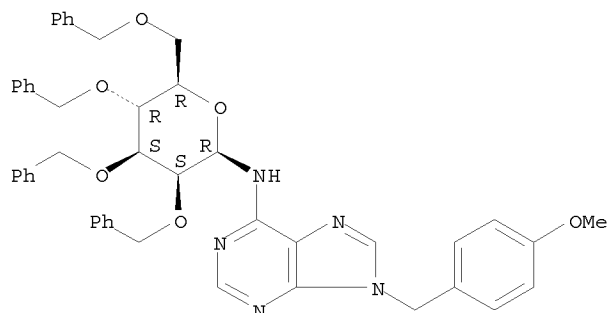
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of ( $\beta$ -D-mannopyranosylamino)purine and its  $\beta$ -D-glucoside  
isomer via Pd-catalyzed coupling reaction of glycosylamines with

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10/566,585

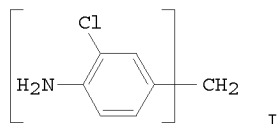
chloropurines)  
RN 222296-26-6 CAPLUS  
CN  $\beta$ -D-Mannopyranosylamine, N-[9-[(4-methoxyphenyl)methyl]-9H-purin-6-yl]-2,3,4,6-tetrakis-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 1986:220261 CAPLUS  
DN 104:220261  
OREF 104:34813a,34816a  
TI Metabolism of 4,4'-methylenebis(2-chloroaniline) by canine liver and kidney slices  
AU Manis, Melanie O.; Braselton, W. Emmett, Jr.  
CS Dep. Pharmacol. Toxicol., Michigan State Univ., Ann Arbor, MI, 48109, USA  
SO Drug Metabolism and Disposition (1986), 14(2), 166-74  
CODEN: DMDSAI; ISSN: 0090-9556  
DT Journal  
LA English  
GI



AB 4,4'-Methylenebis(2-chloroaniline) (MBOCA) (I) [101-14-4] metabolism in canine liver and kidney slices was investigated using HPLC to sep. the metabolites. Liver slices metabolized 5-10% of the [14C]MBOCA in 60 min and produced 7 metabolites resolved by HPLC. The major metabolite, representing .apprx.80% of the metabolism, was 2-amino-5-[(4-amino-3-chlorophenyl)methyl]-3-chlorophenyl H sulfate [102411-04-1], previously identified as the major urinary metabolite in dogs. An O-glucuronide [102411-06-3] was characterized as labile to  $\beta$ -glucuronidase, stable to arylsulfatase, and mild acid. It was formed in increased amts. when 2,6-dichloro-4-nitrophenol (DCNP) was added to the incubation. Two other glucuronide metabolites were labile to mild acid and  $\beta$ -glucuronidase, stable to arylsulfatase, and were formed in decreased amts. in the presence of D-(+)-galactosamine (D-gal) and p-nitrophenyl sulfate (PNPS). Renal cortical slices metabolized 3-5% of the [14C]MBOCA in 90 min, producing 6 metabolites. Based on retention time and lability to hydrolysis, 3 of these, the MBOCA-glucoside, a glucuronide, and 2-amino-5-[(4-amino-3-chlorophenyl)methyl]-3-chlorophenyl H sulfate, were also found as kidney metabolites. One addnl. S-containing metabolite was labile to mild acid and arylsulfatase. The major kidney metabolite represented 25-40% of the metabolism and was unaffected by mild acid,  $\beta$ -glucuronidase, arylsulfatase, DCNP, and D-gal. Covalent binding in liver slices was 20-27 pmol/mg of wet weight/60 min and in kidney was 9-13 pmol/mg of wet weight/90 min. Binding was not altered in either tissue by

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D-gal, PNPS, or low concns. of DCNP. Renal medullary slice incubations produced no [14C]MBOCA metabolites observed by HPLC with UV absorbance or radioactivity monitoring. Tissue covalent binding was 1.2 pmol/mg/90 min and was unchanged by the addition of aspirin or indomethacin, but doubled with 1 mM arachidonic acid.

IT 102411-05-2

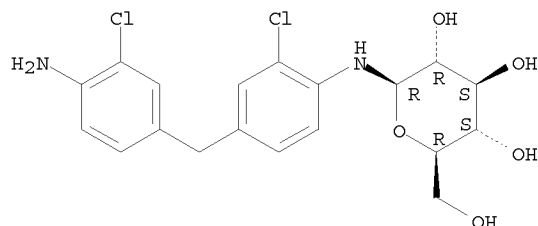
RL: BIOL (Biological study)

(as methylenebis(chloroaniline) metabolite, in kidney and liver)

RN 102411-05-2 CAPLUS

CN  $\beta$ -D-Glucopyranosylamine, N-[4-[(4-amino-3-chlorophenyl)methyl]-2-chlorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1966:38688 CAPLUS

DN 64:38688

OREF 64:7229b-c

TI Chemotherapy of fascioliasis. IV. Action of aromatic amines against liver flukes. 2

AU Laemmler, G.; Loewe, H.

CS Farbwerke Hoechst A.-G., Frankfurt/M., Germany

SO Arzneimittel-Forschung (1962), 12, 164-8

From: CZ 1965(22), Abstr. 1680.

CODEN: ARZNAD; ISSN: 0004-4172

DT Journal

LA German

AB Of 209 aromatic and arylaliphatic mono- and bis-amino compds., 114 were chemotherapeutically effective on rabbits, sheep, and cattle infected with Fasciola hepatica. The partial occurrence of sight disturbances and blinding of treated sheep and cattle prohibited their use. Cf. ibid (1), 15-21; CA 51, 3839b.

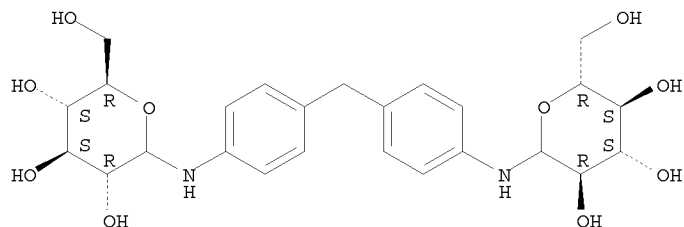
IT 30796-64-6

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 30796-64-6 CAPLUS

CN D-Glucopyranosylamine, N,N'-(methylenedi-4,1-phenylene)bis- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1966:38687 CAPLUS

DN 64:38687

OREF 64:7229a-b

TI Observation of curare-like activity in the alkaloids from Delphinium rugulosom

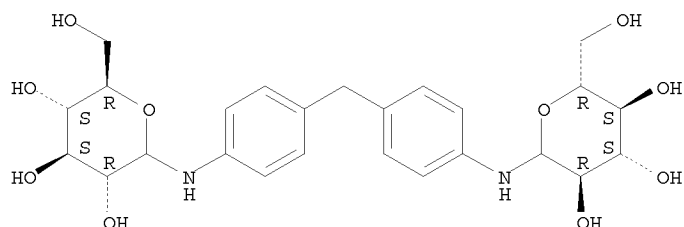
AU Mamedov, G. M.

McIntosh

10/566,585

SO Azerbaidzhanskii Meditsinskii Zhurnal (1965), 42(9), 31-4  
CODEN: AZMZA6; ISSN: 0005-2523  
DT Journal  
LA Azerbaijani  
AB cf. CA 63, 11922b. Two alkaloids with the empirical formula of C<sub>19</sub>H<sub>23</sub>NO<sub>4</sub> and C<sub>21</sub>H<sub>31</sub>NO<sub>4</sub> were isolated in 0.64% yield from the small wrinkled D. rugulosom. Pharmacol. investigation was performed with HBr and HI salts of the whole alkaloid extract and HCl salt of the individual alkaloids. The salts at 0.5-2.5 mg./kg., administered into a cat, manifested curate-like activity.  
IT 30796-64-6  
(Derived from data in the 7th Collective Formula Index (1962-1966))  
RN 30796-64-6 CAPLUS  
CN D-Glucopyranosylamine, N,N'-(methylenedi-4,1-phenylene)bis- (CA INDEX NAME)

Absolute stereochemistry.

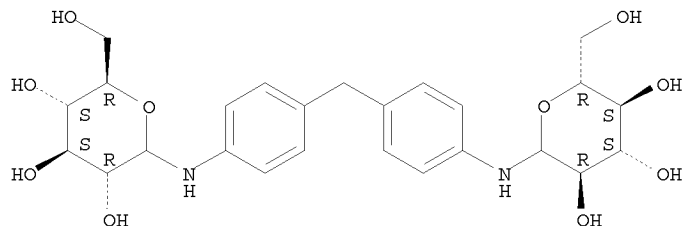


L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 1961:70587 CAPLUS  
DN 55:70587  
OREF 55:13385b-c  
TI Water-soluble, therapeutically active glucosides  
IN Ruschig, Heinrich; Loewe, Heinz; Lammler, Georg  
PA Farbwerke Hoechst AG  
DT Patent  
LA Unavailable  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1075626	---	19600218	DE	---

AB Comps., active against liver fluke disease in animals, are produced by the reaction of diaminodiphenyl compds. with mono- or oligosaccharides containing an aldehyde or ketone group, such as glucose, galactose, arabinose, fructose, sorbose, lactose, or substituted saccharides, in an organic solvent, such as aliphatic or cycloaliphatic alcs. or NO<sub>2</sub> compds. The reaction proceeds at normal or elevated temperature and can be accelerated by the addition of NH<sub>4</sub> or PH<sub>4</sub> ions. The products possess high activity; 75 mg./kg. bis(p,p'-glucosidaminophenyl)methane effects complete eradication of liver flukes in sheep.  
IT 30796-64-6  
(Derived from data in the 6th Collective Formula Index (1957-1961))  
RN 30796-64-6 CAPLUS  
CN D-Glucopyranosylamine, N,N'-(methylenedi-4,1-phenylene)bis- (CA INDEX NAME)

Absolute stereochemistry.



McIntosh

10/566,585

IT 122596-75-2P, Galactosylamine, N,N'-(methylenedi-p-phenylene)-bis-  
RL: PREP (Preparation)  
(preparation of)  
RN 122596-75-2 CAPLUS  
CN Galactopyranosylamine, N,N'-(methylenedi-p-phenylene)bis-, D- (6CI) (CA  
INDEX NAME)

Absolute stereochemistry.

